DOCUMENT-IDENTIFIER: US 5506257 A
TITLE: Aminocyclohexylamides for antiarrhythmic and anaesthetic uses

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ABPL:
The present invention provides methods for blocking sodium channels in cardiac
or neuronal tissue using aminocyclohexylamides. This invention also provides
kits including aminocyclohexylamides and instructions for the use of the
compounds for the treatment of arrhythmia or for the inducement of **local**
anaesthesia.

BSPR:
The present invention relates generally to the use of aminocyclohexamide
compounds to block cardiac and neuronal sodium channels. This invention is
more particularly related to the treatment of cardiac arrhythmias and the
inducement of **local anaesthesia** through the use of aminocyclohexylamide
compounds that block cardiac and neuronal sodium channels, respectively.

BSPR:
In another aspect, the present invention provides a **kit** comprising a pharmaceutically acceptable carrier or diluent, instructions for the treatment
of arrhythmia or for the inducement of **local anaesthesia,** and at least one
compound of formula I as described above or a pharmaceutically acceptable salt
thereof.

BSPR:
When the present invention is employed to induce **local anaesthesia,** the means
of administration may be the same as described above in the case of treatment
of arrhythmia, except that use of oral administration in the form of tablets or
capsules will generally not be appropriate. Topical application of the local
anaesthetic agent, for example in the form of an ointment or an aerosol spray,
may be employed. Means of administering local anaesthetics are well known in
the art.

BSPR:
The present invention also includes a commercial **kit** containing a pharmaceutical composition which includes one or more compounds of formula I
or, pharmaceutically acceptable salts thereof, in addition to any desired,
pharmaceutically acceptable, carriers or diluents. The commercial

kit also
includes instructions for the use of the pharmaceutical composition for the
treatment of arrhythmia or for the inducement of **local anaesthesia**. Preferably
the commercial package will contain one or more unit doses of the pharmaceutical composition. For example, such a unit dose may be an amount
sufficient for the preparation of an intravenous injection. It will be evident
to those in the art that compounds which are light and/or air sensitive may
require special packaging and/or formulation. For example, packaging may be
used which is opaque to light, and/or sealed from contact with ambient air,
and/or formulated with suitable coatings or excipients.

DEPR:
The guinea pig intradermal wheal assay for **local anaesthesia** was carried out.
The test consisted of injecting intradermally a small volume of approximately
0.1 ml of the test compound at various concentrations in a saline vehicle into
the back of guinea pig, after which **local anaesthesia** was determined by the
absence of a flinch upon pin prick near the site of injection. In this test,
ED.sub.20 values for compound 1; compound 7; and U-50,488H were 0.3, 0.5, and
>0.5 percent (g/100 ml), respectively.